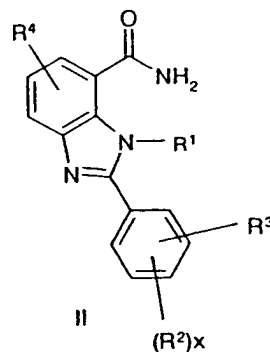
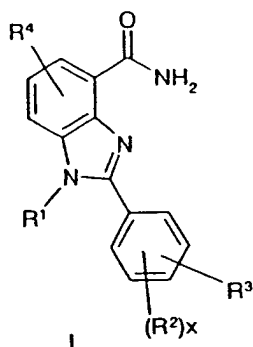


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1. A compound of the formula I or II



in which

R¹ is hydrogen, branched and unbranched C₁-C₆-alkyl, it also being possible for one C atom of the alkyl radical to carry OR¹¹ or a group R⁵, where R¹¹ is hydrogen or C₁-C₄-alkyl, and

R² is hydrogen, chlorine, bromine, iodine, fluorine, CF₃, nitro, NHCOR²¹, NR²²R²³OH, O-C₁-C₄-alkyl, O-C₁-C₄-alkylphenyl, NH₂, phenyl, it also being possible for the phenyl rings to be substituted by at most two radicals R²⁴, and R²¹ and R²² independently of one another are hydrogen or C₁-C₄-alkyl and R²³ is hydrogen, C₁-C₄-alkyl or phenyl, and R²⁴ is OH, C₁-C₆-alkyl, O-C₁-C₄-alkyl, chlorine, bromine, iodine, fluorine, CF₃, nitro, NH₂, and

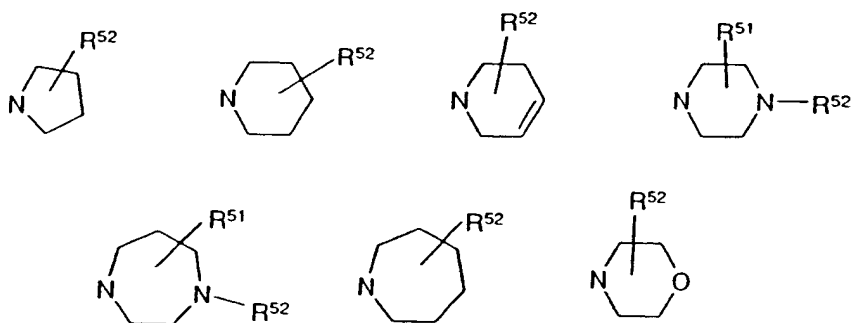
x may be 0, 1 or 2 and

R³ is -D-(F¹)_p-(E)_q-(F²)_r-G, where p, q and r may not simultaneously be 0, or is -E-(D)_u-(F²)_s-(G)_v, it also being possible for the radical E to be substituted by one or two radicals A, and if v = 0, E is imidazole, pyrrole, pyridine, pyrimidine, piperazine, pyrazine, pyrrolidine or piperidine, or R³ is B and

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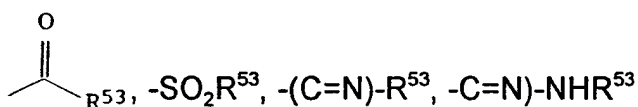
- R⁴ is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched C₁-C₆-alkyl, OH, nitro, CF₃, CN, NR⁴¹R⁴², NH-CO-R⁴³, O-C₁-C₄-alkyl, where R⁴¹ and R⁴² independently of one another are hydrogen or C₁-C₄-alkyl and R⁴³ is hydrogen, C₁-C₄-alkyl, C₁-C₄-alkylphenyl or phenyl, and
- D is S or O
- E is phenyl, imidazole, pyrrole, thiophene, pyridine, pyrimidine, piperazine, pyrazine, furan, thiazole, isoxazole, pyrrolidine, piperidine, trihydroazepine and
- F¹ is a chain of 1 to 8 carbon atoms, it also being possible for one carbon atom of the chain to carry an OH or O-C₁-C₄-alkyl group and
- F² is a chain of 1 to 8 carbon atoms, it also being possible for one carbon atom of the chain to carry an OH or O-C₁-C₄-alkyl group and
- p may be 0 or 1
- q may be 0 or 1, and
- r may be 0 or 1 and
- s may be 0 or 1
- u may be 0 or 1
- v may be 0 or 1
- G may be NR⁵¹R⁵² or



and

R^{51} is hydrogen or branched and unbranched C_1 - C_6 -alkyl, $(CH_2)_t$ -K and

R^{52} is hydrogen, branched and unbranched C_1 - C_6 -alkyl, phenyl,

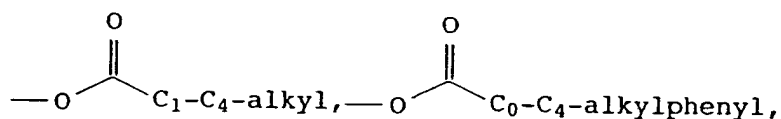


in which

R^{53} may be branched or unbranched O - C_1 - C_6 -alkyl, phenyl, branched or unbranched C_1 - C_4 -alkylphenyl, where in the case of R^{52} and R^{53} independently of one another one hydrogen of the C_1 - C_6 -alkyl radical may be substituted by one of the following radicals: OH , O - C_1 - C_4 -alkyl, cyclohexyl, cyclopentyl, tetrahydronaphthyl, cyclopropyl, cyclobutyl, cycloheptyl, naphthyl and phenyl, it also being possible for the carbocycles of the radicals R^{52} and R^{53} independently of one another to carry one or two of the following radicals: branched or unbranched C_1 - C_6 -alkyl, branched or unbranched O - C_1 - C_4 -alkyl,

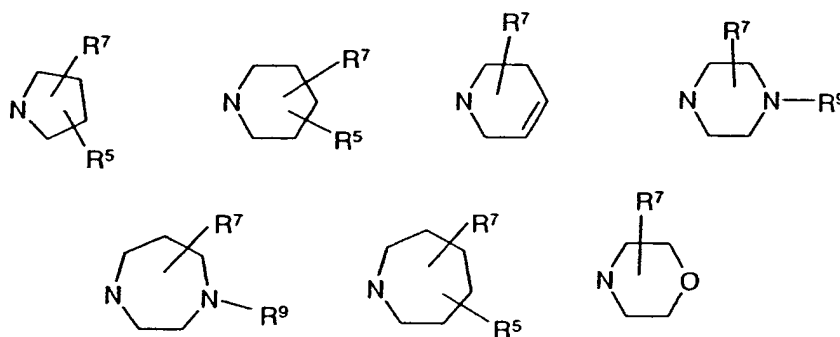
CLEAN COPY OF CLAIMS OZ 49500

OH, F, Cl, Br, I, CF₃, NO₂, NH₂, CN, COOH, COOC₁-C₄-alkyl, C₁-C₄-alkylamino, CC1₃,
C₁-C₄-dialkylamino, SO₂-C₁-C₄-alkyl, SO₂phenyl, CONH₂, CONH-C₁-C₄-alkyl,
CONHphenyl, CONH-C₁-C₄-alkylphenyl, NHSO₂-C₁-C₄-alkyl, NHSO₂phenyl, S-C₁-C₄-
alkyl,



CHO, CH₂-O-C₁-C₄-alkyl, -CH₂O-C₁-C₄-alkylphenyl, -CH₂OH, -SO-C₁-C₄-alkyl, -SO-C₁-
C₄-alkylphenyl, -SO₂NH₂, -SO₂NH-C₁-C₄-alkyl
and two radicals form a bridge -O-(CH₂)_{1,2}-O-

B may be



CLEAN COPY OF CLAIMS OZ 49500

and

A may be hydrogen, chlorine, bromine, iodine, fluorine, CF_3 , nitro, OH, O- C_1 - C_4 -alkyl, O- C_1 - C_4 -alkylphenyl, NH_2 , branched and unbranched C_1 - C_6 -alkyl, CN, NH-CO- R^{33} , where R^{33} is hydrogen, C_1 - C_4 -alkyl or phenyl and

R^{31} is hydrogen, C_1 - C_6 -alkyl, $(\text{CH}_2)_t$ -K and

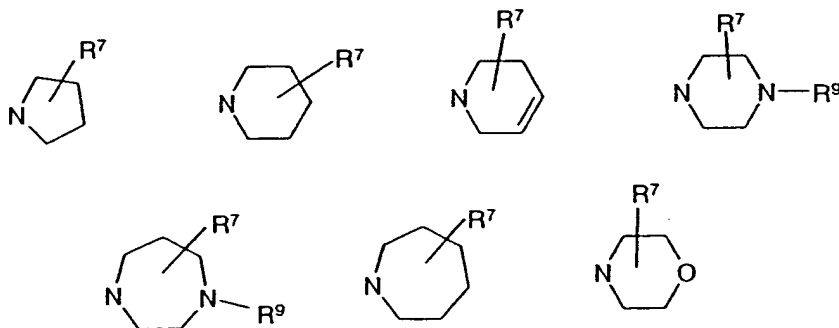
R^{32} is hydrogen, C_1 - C_6 -alkyl, $-\text{CO}-\text{R}^8$, SO_2-R^8 , $-(\text{C}=\text{N})=\text{R}^8-\text{CO}-\text{NHR}^8$, $-\text{CO}-\text{OR}^8$ and $-(\text{C}=\text{N})-\text{NHR}^8$ and

R^{33} is hydrogen and C_1 - C_4 -alkyl and

t is 0,1,2,3,4 and

K is phenyl which may carry at most two radicals R, is $\text{NR}^{k1}\text{R}^{k2}$ (where R^{k1} and R^{k2} are as defined for R^{41} and R^{42} respectively), NH- C_1 - C_4 -alkylphenyl, pyrrolidine, piperidine, 1,2, 5, 6-tetrahydropyridine, morpholine, trihydroazepine, piperazine, which may also be substituted by an alkyl radical C_1 - C_6 -alkyl, and homopiperazine, which may also be substituted by an alkyl radical C_1 - C_6 -alkyl, and

R^5 may be hydrogen, C_1 - C_6 -alkyl, NR_7R_9 and



[illegible]

R⁹ is hydrogen, COCH₃, CO-O-C₁-C₄-alkyl, COCF₃, branched and unbranched C₁-C₆-alkyl, it being possible for one or two hydrogens of the C₁-C₆-alkyl radical to be substituted in each case by one of the following radicals: OH, O-C₁-C₄-alkyl and phenyl, and for the phenyl ring also to carry one or two of the following radicals: iodine, chlorine, bromine, fluorine, branched and unbranched C₁-C₆-alkyl, nitro, amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, CF₃, SO₂-C₁-C₄-alkyl,

2. A compound of the formula I or II as claimed in claim 1 in which

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R^{11} is hydrogen or C_1 - C_4 -alkyl, and

R^2 is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched C_1 - C_6 -alkyl, nitro, CF_3 , CN , $NR^{21}R^{22}$, $NH-CO-R^{23}$, OR^{21} , where

R^{21} and R^{22} are, independently of one another, hydrogen or C_1 - C_4 -alkyl, and

R^{23} is hydrogen, C_1 - C_4 -alkyl or phenyl, and

R^3 is $-O-(CH_2)_o-(CHR^{31})_m-(CH_2)_n-R^5$, where

R^{31} is hydrogen, C_1 - C_4 -alkyl, OH and $O-C_1$ - C_4 -alkyl,

m, o are, independently of one another, 0, 1 or 2, and

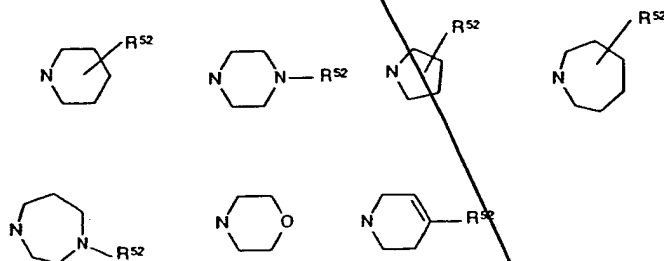
n is 1, 2, 3 or 4 and

R^4 is hydrogen, branched and unbranched C_1 - C_6 -alkyl, chlorine, bromine, fluorine, nitro, cyano, $NR^{41}R^{42}$, $NH-CO-R^{43}$, OR^{41} where

R^{41} and R^{42} are, independently of one another, hydrogen or C_1 - C_4 -alkyl, and

R^{43} is C_1 - C_4 -alkyl or phenyl, and

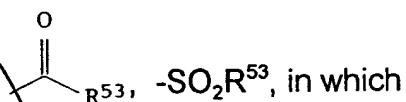
R^5 is $NR^{51}R^{52}$ or one of the following radicals



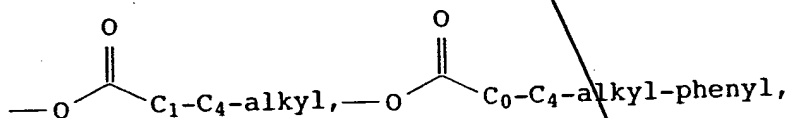
where

R^{51} is hydrogen and branched and unbranched C_1 - C_6 -alkyl, and

R^{52} is hydrogen, branched and unbranched C_1 - C_6 -alkyl phenyl, and



R^{53} is branched or unbranched O - C_1 - C_6 -alkyl, phenyl, branched or unbranched C_1 - C_4 -alkyl-phenyl, where one hydrogen in the C_1 - C_6 -alkyl radical in R^{52} and R^{53} can, independently of one another, be substituted by one of the following radicals: OB , O - C_1 - C_4 -alkyl, cyclohexyl, cyclopentyl, tetrahydronaphthyl, cyclopropyl, cyclobutyl, cycloheptyl, naphthyl and phenyl, where the carbocycles of the R^{52} and R^{53} radicals may also, independently of one another, carry one or two of the following radicals: branched or unbranched C_1 - C_6 -alkyl, branched or unbranched O - C_1 - C_4 -alkyl, OH , F , Cl , Br , I , CF_3 , NO_2 , NH_2 , CN , $COOH$, $COOC_1$ - C_4 -alkyl, C_1 - C_4 -alkylamino, CCl_3 , C_1 - C_4 -dialkylamino, SO_2 - C_1 - C_4 -alkyl, SO_2 phenyl, $CONH_2$, $CONH$ - C_1 - C_4 -alkyl, $CONH$ phenyl, $CONH$ - C_1 - C_4 -alkyl-phenyl, $NHSO_2$ - C_1 - C_4 -alkyl, $NBSO_2$ phenyl, S - C_1 - C_4 -alkyl,



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CHO, $\text{CH}_2\text{-O-C}_1\text{-C}_4\text{-alkyl}$, $\text{-CH}_2\text{O-C}_1\text{-C}_4\text{-alkyl-phenyl}$, $\text{-CH}_2\text{OH}$, $\text{-SO-C}_1\text{-C}_4\text{-alkyl}$, $\text{-SO-C}_1\text{-C}_4\text{-alkyl-phenyl}$, SO_2NH_2 , $\text{-SO}_2\text{NH-C}_1\text{-C}_4\text{-alkyl}$ and two radicals form a bridge $\text{-O-(CH}_2\text{)}_{1,2}\text{-O-}$,

and the tautomeric form, possible enantiomeric and diastereomeric forms thereof, the prodrugs thereof, and possible physiologically tolerated salts.

3. A compound of the formula I or II as claimed in claim 1 in which

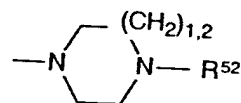
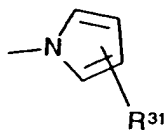
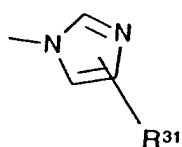
R^1 is hydrogen, branched and unbranched $\text{C}_1\text{-C}_6\text{-alkyl}$, it also being possible for one C atom of the alkyl radical to carry OR^{11} or a group R^5 , where

R^{11} is hydrogen or $\text{C}_1\text{-C}_4\text{-alkyl}$, and

R^2 is hydrogen, chlorine, fluorine, bromine, iodine, branched and unbranched $\text{C}_1\text{-C}_6\text{-alkyl}$, nitro, CF_3 , CN, $\text{NR}^{21}\text{R}^{22}$, NH-CO-R^{23} , OR^{21} , where

R^{21} and R^{22} independently of one another are hydrogen or $\text{C}_1\text{-C}_4\text{-alkyl}$ and

R^3 is



and

R^{31} is hydrogen, CHO and $\text{-(CH}_2\text{)}_o\text{-(CHR}^{32}\text{)}_m\text{-(CH}_2\text{)}_n\text{-R}^5$, where R^{32} is

N5

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Introduction

R⁴ is hydrogen, branched and unbranched C₁-C₆-alkyl, chlorine, bromine, fluorine, nitro, cyano, NR⁴¹R⁴² NH-CO-R⁴³, OR⁴¹, where

R⁴³ is C₁-C₄-alkyl or phenyl, and

[illegible]

R⁵¹ is hydrogen and branched and unbranched and C₁-C₆-alkyl and

10

CLEAN COPY OF CLAIMS OZ 49500

and the tautomeric forms, possible enantiomeric and diastereomeric forms thereof, the prodrugs thereof, and possible physiologically tolerated salts.

4. A compound as claimed in claim 1, where R^2 is in position 3 and R^3 is in position 4 or R^2 is in position 4 and R^3 is in position 3 relative to the benzimidazole ring.

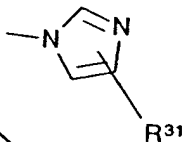
5. A compound as claimed in claim 1, where R^1 and R^4 are hydrogen.

6. A compound as claimed in claim 1, where

R^2 is hydrogen, branched or unbranched C_1 - C_6 -alkyl, nitro, CN, NH_2 , O- C_1 - C_4 -alkyl.

7. A compound as claimed in claim 1 where

(i) for R^3 being



R^{31} is hydrogen or $-(CH_2)_p-R^5$, where

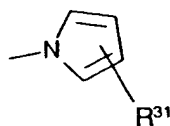
p is 1 or 2 and

R^{52} may be hydrogen, branched and unbranched C_1 - C_6 -alkyl, where one hydrogen of the C_1 - C_6 -alkyl radical may be substituted by one of the following radicals: OH, O- C_1 - C_4 -alkyl and phenyl, and where the phenyl

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ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched C₁-C₄-alkyl, nitro, amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, SO₂-C₁-C₄-alkyl;

(ii) for R³ being

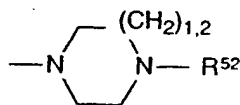


R³¹ is hydrogen or -(CH₂)_p-R⁵, where

p is 1 or 2 and

R⁵² may be hydrogen, branched and unbranched C₁-C₆-alkyl, where one hydrogen of the C₁-C₆-alkyl radical may be substituted by one of the following radicals: OH, O-C₁-C₄-alkyl and phenyl, and where the phenyl ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched C₁-C₄-alkyl, nitro, amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, SO₂-C₁-C₄-alkyl;

and (iii) for R³ being



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where R⁵² is hydrogen, branched and unbranched C₁-C₆-alkyl, where one hydrogen of the C₁-C₆-alkyl radical may be substituted by one of the following radicals: OH, O-C₁-C₄-alkyl and phenyl, and where the phenyl ring may also carry one or two of the following radicals: chlorine, bromine, fluorine, branched and unbranched C₁-C₄-alkyl, nitro, amino, C₁-C₄-alkylamino, C₁-C₄-dialkylamino, OH, O-C₁-C₄-alkyl, CN, SO₂-C₁-C₄-alkyl.

8. A compound as claimed in claim 1, where R³ is -O-(CH₂)_p-R⁵ with p equal to 2, 3 or 4.

9. A compound as claimed in claim 1, where R⁵ is a 6-membered ring and R⁵² is an optionally substituted phenyl ring.

10. A drug comprising besides conventional vehicles and ancillary substances a compound as claimed in claim 1.

11. A method for treating a disorder in which pathologically elevated PARP activities occur, said method comprising administering an effective amount of a compound of the formula I as claimed in claim 1 to a mammal suffering from said disorder.

12. The method as claimed in claim 11 wherein the disorder is a neurodegenerative disease or involves neuronal damage.

13. The method as claimed in claim 12, wherein the neurodegenerative disease or neuronal damage is induced by ischemia, trauma or massive bleeding.

Sub B²⁷ 14. The method as claimed in claim 11 wherein the disorder is stroke and

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craniocerebral trauma.

15. The method as claimed in claim 11 wherein the disorder is Alzheimer's disease and Huntington's disease.

16. The method as claimed in claim 11 wherein the disorder is damage due to ischemia.

17. The method as claimed in claim 11 wherein the disorder is epilepsy.

18. The method as claimed in claim 11 wherein the disorder is damage to the kidneys after renal ischemia, damage caused by drug therapy or damage resulting after kidney transplants.

19. The method as claimed in claim 11 wherein the disorder is damage to the heart after cardiac ischemia.

20. The method as claimed in claim 11 wherein the disorder is a microinfarct.

21. The method as claimed in claim 11 wherein the disorder is under vascularization of critically narrowed coronary arteries.

22. The method as claimed in claim 11 wherein the disorder is an acute myocardial infarct and damage during and after medical or mechanical lysis thereof.

23. The method as claimed in claim 11 wherein the disorder is a tumor or metastasis thereof.

24. The method as claimed in claim 11 wherein the disorder is sepsis of multi-organ failure.

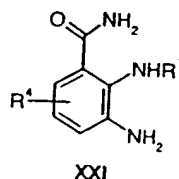
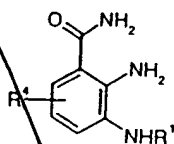
25. The method as claimed in claim 11 wherein the disorder is an immunological

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disease.

26. The method as claimed in claim 11 wherein the disorder is diabetes mellitus.

27. A compound of the formula XX or XXI



in which

R⁴ = hydrogen and R¹ is defined in claim 1, and salts thereof.

28. A process for preparing compounds of the formula XX or XXI as claimed in claim 27 and salts thereof, which comprises converting the corresponding ester into the amide XX or XXI with hydrazine hydrate in an alcohol and subsequent reduction of the hydrazine with Raney nickel in a polar solvent.

CLAIM 29 IS CANCELED

30. An in vitro detection method for PARP inhibitors, which comprises

- NE
- a) incubating an unsupported or supported polyADP-ribosylatable target with a reaction mixture comprising
 - a1) a PARP
 - a2) a PARP activator; and
 - a3) a PARP inhibitor or an analyte in which at least one PARP inhibitor is suspected

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- b) carrying out the polyADP-ribosylation reaction: and
- c) determining the polyADP-ribosylation of the target qualitatively or quantitatively using an anti-poly(ADP-ribose) antibody.

31. A method as claimed in claim 30, wherein PARP is preincubated with the PARP activator and the PARP inhibitor or an analyte in which at least one PARP inhibitor is suspected before the polyADP ribosylation reaction is carried out.

32. A method as claimed in either of claims 30 or 31, wherein the polyADP-ribosylatable target is a histone protein.

33. A method as claimed in any of claims 30 to 32, wherein the PARP activator is activated DNA.

34. A method as claimed in any of claims 30 to 33, wherein the polyADP ribosylation reaction is started by adding NAD⁺.

35. A method as claimed in any of claims 30 to 34, wherein the unsupported target is labeled with an acceptor fluorophore.

36. A method as claimed in claim 35, wherein the polyADP ribosylation of the unsupported target is determined using anti-poly(ADP-ribose) antibody which is labeled with a donor fluorophore which is able to transfer energy to the acceptor fluorophore.

37. A method as claimed in either of claims 35 or 36, wherein the target is biotinylated histone, and the acceptor fluorophore is coupled thereto via avidin or streptavidin.

38. A method as claimed in either of claims 36 and 37, wherein the anti-poly(ADP-

ribose) antibody carries a europium cryptate as donor fluorophore.

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1997	1998	1999	2000	2001	2002	2003	2004	2005	2006	2007	2008	2009	2010	2011	2012	2013	2014	2015	2016	2017	2018	2019	2020	2021	2022	2023	2024	2025	2026	2027	2028	2029	2030	2031	2032	2033	2034	2035	2036	2037	2038	2039	2040	2041	2042	2043	2044	2045	2046	2047	2048	2049	2050	2051	2052	2053	2054	2055	2056	2057	2058	2059	2060	2061	2062	2063	2064	2065	2066	2067	2068	2069	2070	2071	2072	2073	2074	2075	2076	2077	2078	2079	2080	2081	2082	2083	2084	2085	2086	2087	2088	2089	2090	2091	2092	2093	2094	2095	2096	2097	2098	2099	2100	2101	2102	2103	2104	2105	2106	2107	2108	2109	2110	2111	2112	2113	2114	2115	2116	2117	2118	2119	2120	2121	2122	2123	2124	2125	2126	2127	2128	2129	2130	2131	2132	2133	2134	2135	2136	2137	2138	2139	2140	2141	2142	2143	2144	2145	2146	2147	2148	2149	2150	2151	2152	2153	2154	2155	2156	2157	2158	2159	2160	2161	2162	2163	2164	2165	2166	2167	2168	2169	2170	2171	2172	2173	2174	2175	2176	2177	2178	2179	2180	2181	2182	2183	2184	2185	2186	2187	2188	2189	2190	2191	2192	2193	2194	2195	2196	2197	2198	2199	2200	2201	2202	2203	2204	2205	2206	2207	2208	2209	2210	2211	2212	2213	2214	2215	2216	2217	2218	2219	2220	2221	2222	2223	2224	2225	2226	2227	2228	2229	2230	2231	2232	2233	2234	2235	2236	2237	2238	2239	2240	2241	2242	2243	2244	2245	2246	2247	2248	2249	2250	2251	2252	2253	2254	2255	2256	2257	2258	2259	2260	2261	2262	2263	2264	2265	2266	2267	2268	2269	2270	2271	2272	2273	2274	2275	2276	2277	2278	2279	2280	2281	2282	2283	2284	2285	2286	2287	2288	2289	2290	2291	2292	2293	2294	2295	2296	2297	2298	2299	2300	2301	2302	2303	2304	2305	2306	2307	2308	2309	2310	2311	2312	2313	2314	2315	2316	2317	2318	2319	2320	2321	2322	2323	2324	2325	2326	2327	2328	2329	2330	2331	2332	2333	2334	2335	2336	2337	2338	2339	2340	2341	2342	2343	2344	2345	2346	2347	2348	2349	2350	2351	2352	2353	2354	2355	2356	2357	2358	2359	2360	2361	2362	2363	2364	2365	2366	2367	2368	2369	2370	2371	2372	2373	2374	2375	2376	2377	2378	2379	2380	2381	2382	2383	2384	2385	2386	2387	2388	2389	2390	2391	2392	2393	2394	2395	2396	2397	2398	2399	2400	2401	2402	2403	2404	2405</
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